OCT 0 6 2008

SEQUENCE LISTING

Merck & Co., Inc. Chen, Fang

<120> Method for identifying androgen receptor modulators with full or mixed agonist activity

<130> 21506YP <140> US 10/580,285 <141> 2006-05-24 <150> PCT/US2004/038859 <151> 2004-11-19 <150> US 60/524,455 <151> 2003-11-24 <160> 3 <170> FastSEQ for Windows Version 4.0 <210> 1 <211> 2827 <212> RNA <213> Homo sapien <400> 1 aggatggaag tgcagttagg gctgggaagg gtctaccctc ggccgccgtc caagacctac 60 cgaggagctt tccagaatct gttccagagc gtccgcgaag tgatccagaa cccgggcccc 120 aggcacccag aggccgcgag cgcagcacct cccggcgcca gtttgctgct gctgcagcag 180 cagcagcagc agcagcagca gcagcagcag cagcagcagc aagagactag ccccaggcag 240 cagcagcagc agcagggtga ggatggttct ccccaagccc atcgtagagg ccccacaggc 300 tacctggtcc tggatgagga acagcaacct tcacagccgc agtcggccct ggagtgccac 360 cccgagagag gttgcgtccc agagcctgga gccgccgtgg ccgccagcaa ggggctgccg 420 cagcagetge cagcacetee ggacgaggat gacteagetg ecceateeac gttgteeetg 480 ctgggcccca ctttccccgg cttaagcagc tgctccgctg accttaaaga catcctgagc 540 gaggccagca ccatgcaact ccttcagcaa cagcagcagg aagcagtatc cgaaggcagc 600 agcageggga gagegaggga ggeetegggg geteceaett cetecaagga caattaetta 660 gggggcactt cgaccatttc tgacaacgcc aaggagttgt gtaaggcagt gtcggtgtcc 720 atgggcctgg gtgtggaggc gttggagcat ctgagtccag gggaacagct tcggggggat 780 tgcatgtacg ccccactttt gggagttcca cccgctgtgc gtcccactcc ttgtgcccca 840 ttggccgaat gcaaaggttc tctgctagac gacagcgcag gcaagagcac tgaagatact 900 gctgagtatt cccctttcaa gggaggttac accaaagggc tagaaggcga gagcctaggc 960 tgctctggca gcgctgcagc agggagctcc gggacacttg aactgccgtc taccctgtct 1020 ctctacaagt ccggagcact ggacgaggca gctgcgtacc agagtcgcga ctactacaac 1080 tttccactgg ctctggccgg accgccgcc cctccgccgc ctccccatcc ccacgctcgc 1140 atcaagctgg agaacccgct ggactacggc agcgcctggg cggctgcggc ggcgcagtgc 1200 cgctatgggg acctggcgag cctgcatggc gcgggtgcag cgggacccgg ttctgggtca 1260 ccctcagccg ccgcttcctc atcctggcac actctcttca cagccgaaga aggccagttg 1320 tatggaccgt gtggtggtgg tgggggtggt ggcggcggc gcggcggcgg cggcggcggc 1380 gaggcgggag ctgtagcccc ctacggctac actcggcccc ctcaggggct ggcgggccag 1440 gaaagcgact tcaccgcacc tgatgtgtgg taccctggcg gcatggtgag cagagtgccc 1500 tatcccagtc ccacttgtgt caaaagcgaa atgggcccct ggatggatag ctactccgga 1560 ccttacgggg acatgcgttt ggagactgcc agggaccatg ttttgcccat tgactattac 1620

tttccaccc agaagacctg cctgatctgt ggagatgaag cttctgggtg tcactatgga 1680 gctctcacat gtggaagctg caaggtcttc ttcaaaagag ccgctgaagg gaaacagaag 1740 tacctgtgcg ccagcagaaa tgattgcact attgataaat tccgaaggaa aaattgtcca 1800

```
tcttgtcgtc ttcggaaatg ttatgaagca gggatgactc tgggagcccg gaagctgaag 1860
aaacttggta atctgaaact acaggaggaa ggagaggctt ccagcaccac cagccccact 1920
gaggagacaa cccagaagct gacagtgtca cacattgaag gctatgaatg tcagcccatc 1980
tttctgaatg tcctggaagc cattgagcca ggtgtagtgt gtgctggaca cgacaacaac 2040
cagecegact cetttgeage ettgetetet agecteaatg aactgggaga gagacagett 2100
gtacacgtgg tcaagtgggc caaggccttg cctggcctcc gcaacttaca cgtggacgac 2160
cagatggctg tcattcagta ctcctggatg gggctcatgg tgtttgccat gggctggcga 2220
teetteacea atgteaacte caggatgete taettegeee etgatetggt titeaatgag 2280
taccgcatgc acaagtcccg gatgtacagc cagtgtgtcc gaatgaggca cctctctcaa 2340
gagtttggat ggctccaaat cacccccag gaattcctgt gcatgaaagc catgctactc 2400
ttcagcatta ttccagtgga tgggctgaaa aatcaaaaat tctttgatga acttcgaatg 2460
aactacatca aggaactcga tcgtatcatt gcatgcaaaa gaaaaaatcc cacatcctgc 2520
tcaagacgct tctaccagct caccaagctc ctggactccg tgcatcctat tgcgagagag 2580
ctgcatcagt tcacttttga cctgctaatc aagtcacaca tggtgagcgt ggactttccg 2640
gaaatgatgg cagagatcat ctctgtgcaa gtgcccaaga tcctttctgg gaaagtcaag 2700
cccatctatt tccacaccca gtgaagcatt ggaaacccta tttccccacc ccagctcatg 2760
ccccctttca gatgtcttct gcctgttata actctgcact actcctctgc agtgccttgg 2820
ggaattt
```

<210> 2 <211> 906 <212> PRT <213> Homo sapien

275

<400> 2

Met Glu Val Gln Leu Gly Leu Gly Arg Val Tyr Pro Arg Pro Pro Ser Lys Thr Tyr Arg Gly Ala Phe Gln Asn Leu Phe Gln Ser Val Arg Glu 25 Val Ile Gln Asn Pro Gly Pro Arg His Pro Glu Ala Ala Ser Ala Ala 40 Pro Pro Gly Ala Ser Leu Leu Leu Gln Gln Gln Gln Gln Gln Gln 55 Gln Gln Gln Gln Gln Gln Gln Glu Thr Ser Pro Arg Gln Gln 70 75 Gln Gln Gln Gly Glu Asp Gly Ser Pro Gln Ala His Arg Arg Gly 85 90 Pro Thr Gly Tyr Leu Val Leu Asp Glu Glu Gln Gln Pro Ser Gln Pro 100 105 Gln Ser Ala Leu Glu Cys His Pro Glu Arg Gly Cys Val Pro Glu Pro 120 Gly Ala Ala Val Ala Ala Ser Lys Gly Leu Pro Gln Gln Leu Pro Ala 140 Pro Pro Asp Glu Asp Asp Ser Ala Ala Pro Ser Thr Leu Ser Leu Leu 150 155 Gly Pro Thr Phe Pro Gly Leu Ser Ser Cys Ser Ala Asp Leu Lys Asp 165 170 Ile Leu Ser Glu Ala Ser Thr Met Gln Leu Leu Gln Gln Gln Gln Gln 180 185 190 Glu Ala Val Ser Glu Gly Ser Ser Gly Arg Ala Arg Glu Ala Ser 200 195 205 Gly Ala Pro Thr Ser Ser Lys Asp Asn Tyr Leu Gly Gly Thr Ser Thr 215 220 Ile Ser Asp Asn Ala Lys Glu Leu Cys Lys Ala Val Ser Val Ser Met 230 235 Gly Leu Gly Val Glu Ala Leu Glu His Leu Ser Pro Gly Glu Gln Leu 245 250 Arg Gly Asp Cys Met Tyr Ala Pro Leu Leu Gly Val Pro Pro Ala Val 260 265 270 Arg Pro Thr Pro Cys Ala Pro Leu Ala Glu Cys Lys Gly Ser Leu Leu

280

285

```
Asp Asp Ser Ala Gly Lys Ser Thr Glu Asp Thr Ala Glu Tyr Ser Pro
                       295
Phe Lys Gly Gly Tyr Thr Lys Gly Leu Glu Gly Glu Ser Leu Gly Cys
                   310
                                       315
Ser Gly Ser Ala Ala Gly Ser Ser Gly Thr Leu Glu Leu Pro Ser
               325
                                   330
Thr Leu Ser Leu Tyr Lys Ser Gly Ala Leu Asp Glu Ala Ala Ala Tyr
                               345
Gln Ser Arg Asp Tyr Tyr Asn Phe Pro Leu Ala Leu Ala Gly Pro Pro
                           360
                                               365
Pro Pro Pro Pro Pro His Pro His Ala Arg Ile Lys Leu Glu Asn
                       375
                                           380
Pro Leu Asp Tyr Gly Ser Ala Trp Ala Ala Ala Ala Gln Cys Arg
                   390
                                       395
Tyr Gly Asp Leu Ala Ser Leu His Gly Ala Gly Ala Ala Gly Pro Gly
                                   410
               405
Ser Gly Ser Pro Ser Ala Ala Ser Ser Ser Trp His Thr Leu Phe
                               425
                                                   430
           420
Thr Ala Glu Glu Gly Gln Leu Tyr Gly Pro Cys Gly Gly Gly Gly
                           440
                                               445
Gly Gly Gly Gly Gly Gly Gly Gly Gly Glu Ala Gly Ala Val
                       455
                                           460
Ala Pro Tyr Gly Tyr Thr Arg Pro Pro Gln Gly Leu Ala Gly Gln Glu
                   470
                                       475
Ser Asp Phe Thr Ala Pro Asp Val Trp Tyr Pro Gly Gly Met Val Ser
                485
                                   490
Arg Val Pro Tyr Pro Ser Pro Thr Cys Val Lys Ser Glu Met Gly Pro
                               505
Trp Met Asp Ser Tyr Ser Gly Pro Tyr Gly Asp Met Arg Leu Glu Thr
                            520
                                                525
Ala Arg Asp His Val Leu Pro Ile Asp Tyr Tyr Phe Pro Pro Gln Lys
                        535
                                            540
Thr Cys Leu Ile Cys Gly Asp Glu Ala Ser Gly Cys His Tyr Gly Ala
                    550
                                        555
Leu Thr Cys Gly Ser Cys Lys Val Phe Phe Lys Arg Ala Ala Glu Gly
                                    570
                565
Lys Gln Lys Tyr Leu Cys Ala Ser Arg Asn Asp Cys Thr Ile Asp Lys
            580
                                585
                                                    590
Phe Arg Arg Lys Asn Cys Pro Ser Cys Arg Leu Arg Lys Cys Tyr Glu
                            600
                                                605
Ala Gly Met Thr Leu Gly Ala Arg Lys Leu Lys Lys Leu Gly Asn Leu
                        615
Lys Leu Gln Glu Glu Gly Glu Ala Ser Ser Thr Thr Ser Pro Thr Glu
                   630
                                        635
Glu Thr Thr Gln Lys Leu Thr Val Ser His Ile Glu Gly Tyr Glu Cys
                                    650
Gln Pro Ile Phe Leu Asn Val Leu Glu Ala Ile Glu Pro Gly Val Val
                                665
Cys Ala Gly His Asp Asn Asn Gln Pro Asp Ser Phe Ala Ala Leu Leu
        675
                            680
Ser Ser Leu Asn Glu Leu Gly Glu Arg Gln Leu Val His Val Val Lys
                        695
                                           700
Trp Ala Lys Ala Leu Pro Gly Leu Arg Asn Leu His Val Asp Asp Gln
                   710
                                       715
Met Ala Val Ile Gln Tyr Ser Trp Met Gly Leu Met Val Phe Ala Met
                725
                                   730
Gly Trp Arg Ser Phe Thr Asn Val Asn Ser Arg Met Leu Tyr Phe Ala
                               745
Pro Asp Leu Val Phe Asn Glu Tyr Arg Met His Lys Ser Arg Met Tyr
                           760
Ser Gln Cys Val Arg Met Arg His Leu Ser Gln Glu Phe Gly Trp Leu
```

```
770
                      775
                                          780
Gln Ile Thr Pro Gln Glu Phe Leu Cys Met Lys Ala Met Leu Leu Phe
                               795
                  790
Ser Ile Ile Pro Val Asp Gly Leu Lys Asn Gln Lys Phe Phe Asp Glu
               805
                                  810
Leu Arg Met Asn Tyr Ile Lys Glu Leu Asp Arg Ile Ile Ala Cys Lys
                              825
Arg Lys Asn Pro Thr Ser Cys Ser Arg Arg Phe Tyr Gln Leu Thr Lys
                          840
Leu Leu Asp Ser Val His Pro Ile Ala Arg Glu Leu His Gln Phe Thr
                      855
                                          860
Phe Asp Leu Leu Ile Lys Ser His Met Val Ser Val Asp Phe Pro Glu
        870
                                      875
Met Met Ala Glu Ile Ile Ser Val Gln Val Pro Lys Ile Leu Ser Gly
                                890
               885
Lys Val Lys Pro Ile Tyr Phe His Thr Gln
<210> 3
<211> 895
<212> PRT
```

<213> Macaca mulatta

<400> 3 Met Glu Val Gln Leu Gly Leu Gly Arg Val Tyr Pro Arg Pro Pro Ser 10 Lys Thr Tyr Arg Gly Ala Phe Gln Asn Leu Phe Gln Ser Val Arg Glu 25 Val Ile Gln Asn Pro Gly Pro Arg His Pro Glu Ala Ala Ser Ala Ala 40 Pro Pro Gly Ala Ser Leu Gln Gln Gln Gln Gln Gln Gln Gln Glu Thr 55 Ser Pro Arg Gln Gln Gln Gln Gln Gln Gly Glu Asp Gly Ser Pro 75 Gln Ala His Arg Arg Gly Pro Thr Gly Tyr Leu Val Leu Asp Glu Glu 90 85 Gln Gln Pro Ser Gln Pro Gln Ser Ala Pro Glu Cys His Pro Glu Arg 105 Gly Cys Val Pro Glu Pro Gly Ala Ala Val Ala Ala Gly Lys Gly Leu 120 125 Pro Gln Gln Leu Pro Ala Pro Pro Asp Glu Asp Asp Ser Ala Ala Pro 140 135 Ser Thr Leu Ser Leu Leu Gly Pro Thr Phe Pro Gly Leu Ser Ser Cys 150 155 Ser Ala Asp Leu Lys Asp Ile Leu Ser Glu Ala Ser Thr Met Gln Leu 165 170 Leu Gln Gln Gln Gln Glu Ala Val Ser Glu Gly Ser Ser Gly 180 185 190 Arg Ala Arg Glu Ala Ser Gly Ala Pro Thr Ser Ser Lys Asp Asn Tyr 200 205 Leu Glu Gly Thr Ser Thr Ile Ser Asp Ser Ala Lys Glu Leu Cys Lys 215 220 Ala Val Ser Val Ser Met Gly Leu Gly Val Glu Ala Leu Glu His Leu 230 235 Ser Pro Gly Glu Gln Leu Arg Gly Asp Cys Met Tyr Ala Pro Val Leu 250 Gly Val Pro Pro Ala Val Arg Pro Thr Pro Cys Ala Pro Leu Ala Glu 265 Cys Lys Gly Ser Leu Leu Asp Asp Ser Ala Gly Lys Ser Thr Glu Asp

280

Thr Ala Glu Tyr Ser Pro Phe Lys Gly Gly Tyr Thr Lys Gly Leu Glu Gly Glu Ser Leu Gly Cys Ser Gly Ser Ala Ala Gly Ser Ser Gly Thr Leu Glu Leu Pro Ser Thr Leu Ser Leu Tyr Lys Ser Gly Ala Leu Asp Glu Ala Ala Ala Tyr Gln Ser Arg Asp Tyr Tyr Asn Phe Pro Leu Ala Leu Ala Gly Pro Pro Pro Pro Pro Pro Pro His Pro His Ala Arg Ile Lys Leu Glu Asn Pro Leu Asp Tyr Gly Ser Ala Trp Ala Ala Ala Ala Ala Gln Cys Arg Tyr Gly Asp Leu Ala Ser Leu His Gly Ala Gly Ala Ala Gly Pro Gly Ser Gly Ser Pro Ser Ala Ala Ala Ser Ser Ser Trp His Thr Leu Phe Thr Ala Glu Glu Gly Gln Leu Tyr Gly Pro Glu Ala Gly Ala Val Ala Pro Tyr Gly Tyr Thr Arg Pro Pro Gln Gly Leu Ala Gly Gln Glu Gly Asp Phe Thr Ala Pro Asp Val Trp Tyr Pro Gly Gly Met Val Ser Arg Val Pro Tyr Pro Ser Pro Thr Cys Val Lys Ser Glu Met Gly Pro Trp Met Asp Ser Tyr Ser Gly Pro Tyr Gly Asp Met Arg Leu Glu Thr Ala Arg Asp His Val Leu Pro Ile Asp Tyr Tyr Phe Pro Pro Gln Lys Thr Cys Leu Ile Cys Gly Asp Glu Ala Ser Gly Cys His Tyr Gly Ala Leu Thr Cys Gly Ser Cys Lys Val Phe Phe Lys Arg Ala Ala Glu Gly Lys Gln Lys Tyr Leu Cys Ala Ser Arg Asn Asp Cys Thr Ile Asp Lys Phe Arg Arg Lys Asn Cys Pro Ser Cys Arg Leu Arg Lys Cys Tyr Glu Ala Gly Met Thr Leu Gly Ala Arg Lys Leu Lys Lys Leu Gly Asn Leu Lys Leu Gln Glu Glu Gly Glu Ala Ser Ser Thr Thr Ser Pro Thr Glu Glu Thr Ala Gln Lys Leu Thr Val Ser His Ile Glu Gly Tyr Glu Cys Gln Pro Ile Phe Leu Asn Val Leu Glu Ala Ile Glu Pro Gly Val Val Cys Ala Gly His Asp Asn Asn Gln Pro Asp Ser Phe Ala Ala Leu Leu Ser Ser Leu Asn Glu Leu Gly Glu Arg Gln Leu Val His Val Val Lys Trp Ala Lys Ala Leu Pro Gly Phe Arg Asn Leu His Val Asp Asp Gln Met Ala Val Ile Gln Tyr Ser Trp Met Gly Leu Met Val Phe Ala Met Gly Trp Arg Ser Phe Thr Asn Val Asn Ser Arg Met Leu Tyr Phe Ala Pro Asp Leu Val Phe Asn Glu Tyr Arg Met His Lys Ser Arg Met Tyr Ser Gln Cys Val Arg Met Arg His Leu Ser Gln Glu Phe Gly Trp Leu Gln Ile Thr Pro Gln Glu Phe Leu Cys Met Lys

| 770 | | 775 | 780 |) |
|--------------------|---------------------|--------------------|------------------------|------------------------|
| Ala Leu Leu 785 | ı Leu Phe Se 79 | | ro Val Asp Gly 795 | Leu Lys Asn Gln 800 |
| Lys Phe Phe | e Asp Glu Le 805 | ı Arg Met A | usn Tyr Ile Lys 810 | Glu Leu Asp Arg 815 |
| Ile Ile Ala | a Cys Lys Ar 820 | | Pro Thr Ser Cys 325 | Ser Arg Arg Phe 830 |
| Tyr Gln Let 835 | _ | Leu Asp S 840 | | Ile Ala Arg Glu 845 |
| Leu His Glr 850 | n Phe Thr Ph | e Asp Leu L 855 | eu Ile Lys Ser 860 | His Met Val Ser |
| Val Asp Phe | e Pro Glu Me 87 | | Slu Ile Ile Ser 875 | Val Gln Val Pro 880 |
| Lys Ile Le | s Ser Gly Ly 885 | s Val Lys P | Pro Ile Tyr Phe 890 | His Thr Gln 895 |